FILE 'HOME' ENTERED AT 14:14:15 ON 16 JUN 2005

=> file reg

=>

Uploading C:\Program Files\Stnexp\Queries\10749630.str

chain nodes :

10 11 12 13 14 15 16 17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

7-10 9-11 11-12 11-15 12-13 13-14 13-16 14-17 14-18 16-19 16-20

ring bonds :

1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9

exact/norm bonds :

1-7 7-8 7-10 11-12 11-15 12-13 13-14 13-16

exact bonds :

2-9 8-9 9-11 14-17 14-18 16-19 16-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

## L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full L3 72 SEA SSS FUL L1

=> file ca

=> s 13 L4 2 L3

=> d ibib abs fhitstr 1-2

## 10/749,630

ANSWER 1 OF 2 CA

COPYRIGHT 2005 ACS on STN
140:128292 CA
Preparation of 3-guanidinocarbonyl-1-heteroarylindoles for treating or preventing diseases which are
related to NHE (sodium-proton exchanger)
Kleemann, Heinz-Wenner, Carry, Jean-Christophe,
Desmazeau, Pascal, Hignani, Serge, Bouquerel, Jean,
Genevois-Borelle, Arieller Ronan, Baptiste
Aventis Pherma Deutschland GmbH, Germany
PCT Int. Appl., 69 pp.
CODEN: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

PA*	TENT	NO.													D	ATE	
						-									-		
WO	2004	0074	80		A1		2004	0122		<b>WO 2</b>	003-	EP70	24		2	0030	702
	V:	AE,	λG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DX,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TH,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ.	SD,	SL,	SZ,	TZ,	UG.	ZM,	Z₩,	AH,	A2,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	HC,	NL,	PT.	RO,	SE,	SI,	SK,	TR,
		BF,	BJ,	CF,	CG,	CI,	CH,	GA,	GN,	GQ,	GV.	ML,	MR,	NE,	SN,	TD,	TG
FR	2842	526			A1		2004	0123		FR 2	002-	<b>B949</b>			2	0020	716
	2492																
EP	1523	481			A1		2005	0420		EP 2	003-	7636	86		2	0030	702
	R:							FR,									
								MK,									
	2005																
PRIORIT	Y APP	LN.	I NFO	. :													
										WO 2	003-	EP70	24	1	₩ 2	0030	702
OTHER S	OURCE	(S):			MAR	PAT	140:	1282	92								

The title compds. [I; R1 - H, alkyl; R2 - H, alkyl, halo, etc.; R3, R4 -

L4 ANSWER 2 OF 2 CA
ACCESSION NUMBER:
TITLE:
140:128291 CA
Preparation of 3-quanidinocarbonyl-1-heteroarylindoles for treating or preventing diseases which are
related to sodium-proton exchanger (NHE)
Esmazeau, Pascal Mignani, Serger Bouquerel, Jean
Genevois-Borella, Arieller Roman, Baptiste
Aventis Pherma Deutschland GmbH, Germany
PCT Int. Appl., 57 pp.
CODEN: TYPE:
DOCUMENT TYPE:
PARENT INFORMATION:
English
FAMILY ACC. NUM. COUNT:
PARENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

												ICAT						
												003-						
,	***																	
		w:										BG,						
												EE,						
												KG,						
												MW,						
			PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	sĸ,	SL,	SY,	ΤJ,	TM,	TN,
												ΥU,						
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZH,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DX,	EE,	ES,
			FI,	FR.	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SX,	TR,
												GW,						
1	FR	2842										002-						
i	FR	2842	525			B1		2005	0513									
7		2492	421			AA		2004	0122		CA 2	003-	2492	421		2	0.00	702
- 1	BD.	2003	0127	01		,		2005	0426		BB 2	003- 003-	1270	;		2	0030	702
												003-						
	C.F											IT,						
		K.																
_			15,	51,	LI.	ra,	FI,	RU,	mĸ,	CI,	AL,	TR,	ВЬ,	LZ,	RE,	HU,	28	
,	JS	2004	2148	20		Al		2004	1028		US 2	003-	/496	31		. 2	0031.	231
PRIOR	ΙT	APP	LN.	info	. :					1	FR 2	002-	8948			A 2	0020	716
										1	<b>70</b> 2	003-	EP70.	23	1	2	0030	702
OTHER						MAR	PAT	140:	1282	91								

The title compds. [I; Rl = H, alkyl; R2, R3 = H, alkyl, halo, alkowy, OH; Ar = (un)substituted 9-10 membered bicyclic heteroaryl having 1-3 N atoms) which are suitable for example as antiarrhythaic medicaments with a cardioprotective component for infarction prophylasis and infarction treatment and for the treatment of angina pectoris, were prepared and

ANSWER 1 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued)
H, alkyl, halo, alkowy, OH; R5 = H, halo; Ar = 9-10 membered bicyclic heteroaryl having 1-3 N atoms], which are suitable for example as antiarrhythmic medicanents with cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment of angina pectoris, were prepd. and formulated. They also inhibit in a preventive manner the pathophysiol, processes assocd, with the development of ischemia-induced cardiac arrhythmias and of heart failure. E.g., a 4-step synthesis of I.HCI [R1-R5 = H; Ar = isoquinol-1-y1] which showed IC50 of 0.014 M against NHEI subtype, was given.

649550-23-27
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

[preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or

(Uses)
(preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or
preventing diseases which are related to sodium-proton exchanger (NHE))
649550-23-2 CM
HF-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(1-isoquinolinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

• HC1 REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued) formulated. They also inhibit in a preventive manner the pathophysiol. processes assocd, with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias and of heart failure. E. 9., a 4-step synthesis of I.RCI (RI-R3 - HI Ar - 2-trifluoromethylquinolin-4-yl) which showed IC50 of 2.36 µM for the NHE-1 subtype, was given.

649538-65-69

RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)
(preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to sodium-proton exchanger (NHE)) 649538-65-8 CA
HI-Indole-3-carboxamide, N-(aminoiminomethyl)-1-[2-(trifluoromethyl)-4-quinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

REFERENCE COUNT

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/749,630

INVENTOR (5):

S ANSWER 1 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

140:128292 MARPAT

140:128292 HARPAT

140:128292 HARPAT

Preparation of 3-quanidinocarbonyl-1-heteroarylindoles for treating or preventing diseases which are
related to NHE (sodium-proton exchanger)

Kleenann, Heinz-Verner/ Carry, Jean-Christopher

Desmazeau, Pascal, Mignani, Serger Bouquerel, Jean/
Genevols-Borcelle, Arteiler Ronan, Baptiste

Aventis Pharma Deutschland GmbH, Germany

PCT Int. Appl., 69 pp.

CODEN: PIXXD2

AXMENT TYPE:

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

PATENT NO. KIND DATE APPLICATION NO. DATE FR 2002-8949 WO 2003-EP7024

GI

The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, halo, etc.; R3, R4 = H, alkyl, halo, alkoxy, OH; R5 = H, halo; Ar = 9-10 membered bicyclic

L5 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

TITLE:

Freparation of 3-quanidinocarbonyl-1-heteroarylindoles for treating or preventing diseases which are
related to sodium-proton exchanger (NHE)

INVENTOR(S):

Kleemann, Heinz-Verner; Carry, Jean-Christophe;
Desmazeau, Pascal; Mignani, Serge; Bouquerel, Jean;
Genevois-Borella, Arielles; Ronan, Baptiste

Aventis Pharma Deutschland GmbH, Germany
PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

2525 B1 20050513
2421 AA 20040122 CA 2003-2492421 20030702
3012701 A 20050426 BR 2003-12701 20030702
0566 A1 20050518 EP 2003-763685 20030702
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IR, SI, Lf, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK 4214820 A1 20041028 US 2003-749531 20031231
PLN. INFO:: FR 2002-8948 20020716
W0 2003-EP7023 20030702 US 2004214820 PRIORITY APPLN. INFO.:

GI

The title compds. [I, Rl = H, alkyl, R2, R3 = H, alkyl, halo, alkoxy, OH, Ar = (un)substituted 9-10 membered bicyclic heteroaryl having 1-3 N atoms) which are suitable for example as antiarrythmic medicaments with a cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment of angina pectoris, were prepared and formulated. They also inhibit in a preventive manner the pathophysiol.

ANSWER 1 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued) beteroaryl having 1-3 N atoms], which are suitable for example as antiarrhythmic medicaments with cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment on angina pectoris, were prepd. and formulated. They also inhibit in a preventive manner the pathophysiol. processes assood with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac stripthmias and of heart failure. E.g., a 4-step synthesis of I.HCl [R-R5 = H.Ar = isoquinol-1-yl] which showed IC50 of 0.014 pM against NHE1 subtype, was given.

- quinolinyl claim 1 and pharmaceutically acceptable salts and racemic mixtures, enantiomers, diastereomers, tautomers and

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 4 HARPAT COPYRIGHT 2005 ACS on STN (Continued) processes assocd with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias and of heart failure. E.g., a 4-step synthesis of I.HCl (R1-R3 - H) Ar - 2-trifluoromathylquinolin-4-yl] which showed IC50 of 2.36 µH for the NHE-1 subtype, was given.

and pharmaceutically acceptable salts
and racemic mixtures, enantiomers, diastereomers, tautomers and

mixtures

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/749,630

L5 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:
1125:58312 MARPAT
Indoloylquanidine derivatives useful as inhibitors of Nar/Hr exchanger activity.

Kitano, Masahumi, Nakano, Kazuhiro, Yagi, Hideki, Ohashi, Naohitor Kojima, Atsuyuki, Noquchi, Tsuyoshi, Hiyagishi, Akira

PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:

ATENT INFORMATION:

125:58312 MARPAT
Indolopidation of Natural Country
Indolopidation of Natural Country
Indolopidation
Indolopid

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT 1	ю.	KIND	DATE	AP	PLICATION NO.	DATE	
EP 7080	91	λ1	19960424	EP	1995-307409	1995101B	
EP 7080	91	A3	19960717				
R:	AT, BE, C	H, DE,	DK, ES,		GR, IE, IT, LI,		ŝ
JP 0820	8602	A2	19960813	JP	1995-286772	19951006	
CA 2160	600	λλ	19960419		1995-2160600	19951016	
CN 1136	038	λ	19961120	CN	1995-116169	19951017	
CN 1067	988	В	20010704				
TW 3869	91	В	20000411	TW	1995-84110984	19951018	
IORITY APP	LN. INFO.:			JP	1994-280025	19941018	

Indoloylguanidine derivs. I [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, halo, NO2, acyl, CO2H, alkoxycarbonyl, aromatic group, (un)substituted OH, NH2, SO2NH2, etc., R2 = H, (un)substituted alkyl, cycloalkyl, OH, alkoxy, etc.] and their pharmaceutically acceptable acid addition salts inhibit Na+/H+ exchanger activity, and are consequently

in the treatment or prevention of diseases caused by increased Na+/H+
exchanger activity. For example, condensation of Me 1-methyl-2indolecarboxylate in the presence of NaOMe at ≤ 130° gave,
after chromatog, and salification, 30.8% title compound II. In an assay for
inhibition of ischemia-and-reperfusion-induced cardiac arrhythmia in rats,
II at 0.3 mg/kg reduced mortality from 76% (control) to 0%, whereas EIPA
[5-(N-ethyl-N-isopropyl)amiloride] reduced mortality to only 44% at the
same dose.

LS ANSWER 4 OF 4
ACCESSION NUMBER:
123:256510 HAMPAT
1121: Preparation of indolylcarbonylguanidines,
benzothienylcarbonylguanidines,
benzothienylcarbo

German 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 639573	A1	19950222	EP 1994-111765	19940728
	R: AT. BE.	CH. DE	. DK. ES. P	R, GB, GR, IE, IT, LI	, LU, NL, PT, SE
	DE 4326005	A1	19950209	DE 1993-4326005	
	DE 4414316	A1	19951026	DE 1994-4414316	19940425
P	RIORITY APPLN. INFO.	. :		DE 1993-4326005	19930803
				DE 1994-4414316	19940425

GΙ

Title compds. (I; X = N, CR6; Y = O, S, NR7; A, B = H; AB = bond; 1 of R1-R6 = CON:C(NH2)2, the other of R1-R6 = H, F, Cl, Br, iodo, alkyl, \$2 of R1-R6 = cyano, NO2, N3, alkowy, CF3, etc.; R7 = H, alkyl, alkenyl, etc.], were prepared Thus, 3-chloro-5-fluoro-1-methylindolyl-2-carboxylic acid quanidide hydrochloride (synthetic outline given) inhibited rabbit erythrocyte Na+/H+-exchanger with IC50 = 3 + 10-8

= 8-1 9-11 10-3

L5 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)

- 18-1 20-3

G14 DER: MPL: NTE: - furyl (50) or pharmaceutically acceptable acid addition salts claim 1 also incorporates claim 14 substitution is restricted

ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

-G17

25 (0)-G7

pyridyl and pharmaceutically acceptable salts claim 1 substitution is restricted also incorporates claim 6 DER: MPL: NTE:

```
10/749,630
=> d his
     (FILE 'HOME' ENTERED AT 14:14:15 ON 16 JUN 2005)
     FILE 'REGISTRY' ENTERED AT 14:14:20 ON 16 JUN 2005
L1
                STRUCTURE UPLOADED
L2
              6 S L1 SAM
L3
             72 S L1 FULL
     FILE 'CA' ENTERED AT 14:15:04 ON 16 JUN 2005
              2 S L3
L4
     FILE 'MARPAT' ENTERED AT 14:15:16 ON 16 JUN 2005
L5
              4 S L1 FULL
---Logging off of STN---
Executing the logoff script...
=> LOG Y
STN INTERNATIONAL LOGOFF AT 14:15:44 ON 16 JUN 2005
```